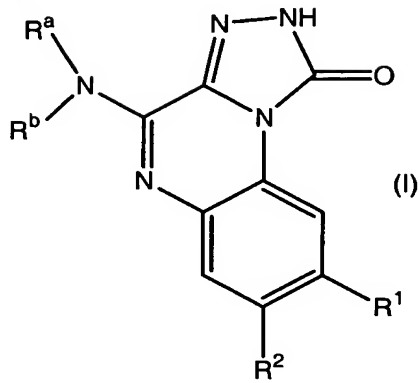


CLAIMS

1. A compound of formula (I)



5 a prodrug thereof, or a pharmaceutically acceptable salt of said compound and said prodrug, wherein:

R<sup>a</sup> and R<sup>b</sup> are, independently:

(i) hydrogen;

(ii) acetyl;

10 (iii) -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally, and independently, substituted with from 1-3 of:

(a) halogen; (b) -NR<sup>3</sup>R<sup>4</sup>; (c) -COR<sup>5</sup>; (d) -OR<sup>6</sup>; (e) aryl, optionally, and independently, substituted with from 1-3 of halogen; -(C<sub>1</sub>-C<sub>6</sub>)alkyl; or -(C<sub>1</sub>-C<sub>6</sub>)alkoxy; (f) heteroaryl, optionally, and independently, substituted with from 1-3 of trifluoromethyl or -(C<sub>1</sub>-C<sub>6</sub>)alkyl; (g) -(C<sub>3</sub>-C<sub>11</sub>)cycloalkyl; or (h) -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl, 15 optionally, and independently, substituted with from 1-3 of -(C<sub>1</sub>-C<sub>6</sub>)alkyl or -(C<sub>1</sub>-C<sub>6</sub>)alkoxy; wherein:

R<sup>3</sup> and R<sup>4</sup> are independently:

(j) hydrogen; (k) amidino; (l) aryl, optionally, and independently, substituted with from 1-3 of halogen; cyano; nitro; -(C<sub>1</sub>-C<sub>6</sub>)alkyl, -(C<sub>1</sub>-C<sub>6</sub>)alkoxy, or -COR<sup>5</sup>; (m) - 20 (C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally, and independently, substituted with from 1-3 of -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl; -(C<sub>3</sub>-C<sub>11</sub>)cycloalkyl; -(C<sub>1</sub>-C<sub>6</sub>)alkoxy; aryl; or heteroaryl; (n) heteroaryl, optionally, and independently, substituted with from 1-3 of halogen; trifluoromethyl; cyano; nitro; -COR<sup>5</sup>; -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally substituted with -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl; or -(C<sub>1</sub>-C<sub>6</sub>)alkoxy; (o) -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl, optionally 25 substituted with from 1-3 of -(C<sub>1</sub>-C<sub>6</sub>)alkyl; or (p) -COR<sup>5</sup>;

R<sup>5</sup> is (q) hydroxy; (r) -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally, and independently, substituted with from 1-3 of -(C<sub>1</sub>-C<sub>6</sub>)alkoxy or aryl; (s) -(C<sub>1</sub>-C<sub>6</sub>)alkoxy; (t) heteroaryl; or (u) -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl, optionally substituted with from 1-3 of -(C<sub>1</sub>-C<sub>6</sub>)alkyl; and

5 R<sup>6</sup> is (v) -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally, and independently, substituted with from 1-3 of -(C<sub>1</sub>-C<sub>6</sub>)alkoxy or aryl; (w) heteroaryl; or (x) -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl, optionally substituted with from 1-3 of -(C<sub>1</sub>-C<sub>6</sub>)alkyl;

(iv) -(C<sub>3</sub>-C<sub>11</sub>)cycloalkyl; or

(v) -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl, optionally, and independently, substituted with from 1-3 of halogen; -COR<sup>5</sup>; -(C<sub>1</sub>-C<sub>6</sub>)alkyl; and -(C<sub>1</sub>-C<sub>6</sub>)alkoxy; or

10 R<sup>a</sup> and R<sup>b</sup>, taken together with the nitrogen atom to which they are attached, form a 5- or 6-membered heterocycloalkyl ring, optionally having from 1-3 additional heteroatoms independently selected from the group consisting of nitrogen, oxygen, and sulfur, wherein said 5- or 6-membered heterocycloalkyl ring is optionally, and independently, substituted with from 1-3 of halogen; -(C<sub>1</sub>-C<sub>6</sub>)alkyl; or heteroaryl,  
15 optionally, and independently, substituted with from 1-3 of halogen; trifluoromethyl; and cyano; and

R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of amino; halogen; hydrogen; trifluoromethyl; nitro; -COR<sup>5</sup>; -NR<sup>3</sup>R<sup>4</sup>; -CONR<sup>3</sup>R<sup>4</sup>; and -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally, and independently, substituted with from 1-3 of -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl; -NR<sup>3</sup>R<sup>4</sup>; aryl; heteroaryl; or hydroxy;  
20

provided that when R<sup>a</sup> is hydrogen, and R<sup>b</sup> is hydrogen or isopropyl, R<sup>1</sup> is not fluoro.

2. A compound of claim 1, wherein:

25 R<sup>a</sup> is hydrogen;

R<sup>b</sup> is selected from the group consisting of (iii) -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally substituted with: (b) -NR<sup>3</sup>R<sup>4</sup>, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is heteroaryl, optionally, and independently, substituted with from 1-3 of trifluoromethyl; cyano; -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally substituted with -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl; -(C<sub>1</sub>-C<sub>6</sub>)alkoxy; or -COR<sup>5</sup>; (e) aryl, optionally substituted with from 1-3 halogen atoms; (f) heteroaryl; (h) -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl; (iv) -(C<sub>3</sub>-C<sub>11</sub>)cycloalkyl; or (v) -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl;  
30

R<sup>1</sup> is hydrogen; halogen; -COR<sup>5</sup>; -CONR<sup>3</sup>R<sup>4</sup>; or -(C<sub>1</sub>-C<sub>6</sub>)alkyl, optionally, and independently, substituted with from 1-3 of -(C<sub>3</sub>-C<sub>11</sub>)heterocycloalkyl or -NR<sup>3</sup>R<sup>4</sup>; and

$R^2$  is hydrogen;  $-\text{CONR}^3\text{R}^4$ ; or  $-(\text{C}_1-\text{C}_6)\text{alkyl}$ , optionally, and independently, substituted with from 1-3 of  $-(\text{C}_3-\text{C}_{11})\text{heterocycloalkyl}$  or  $-\text{NR}^3\text{R}^4$ .

3. A compound of claim 1, wherein:

5  $R^a$  is hydrogen;

$R^b$  is (iii)  $-(\text{C}_1-\text{C}_3)\text{alkyl}$ , optionally substituted with (b)  $-\text{NR}^3\text{R}^4$ , wherein  $R^3$  is hydrogen and  $R^4$  is heteroaryl, optionally, and independently, substituted with from 1-3 of trifluoromethyl; cyano;  $-(\text{C}_1-\text{C}_6)\text{alkyl}$ , optionally substituted with  $-(\text{C}_3-\text{C}_{11})\text{heterocycloalkyl}$ ; or  $-(\text{C}_1-\text{C}_6)\text{alkoxy}$ ; (e) aryl; (f) heteroaryl; (h)  $-(\text{C}_3-\text{C}_6)\text{heterocycloalkyl}$ ; (iv)  $-(\text{C}_3-\text{C}_6)\text{cycloalkyl}$ ; or (v)  $-(\text{C}_3-\text{C}_{11})\text{heterocycloalkyl}$ ;

$R^1$  is hydrogen; fluoro; chloro; bromo;  $-\text{COR}^5$ , wherein  $R^5$  is hydroxy or  $-(\text{C}_1-\text{C}_6)\text{alkoxy}$ ; or  $-\text{CONR}^3\text{R}^4$ , wherein  $R^3$  is hydrogen or  $-(\text{C}_1-\text{C}_6)\text{alkyl}$ ; and  $R^4$  is  $-(\text{C}_1-\text{C}_6)\text{alkyl}$ , optionally substituted with  $-(\text{C}_1-\text{C}_6)\text{alkoxy}$ ; and

15  $R^2$  is hydrogen or  $-\text{CONR}^3\text{R}^4$ , wherein  $R^3$  is  $-(\text{C}_1-\text{C}_6)\text{alkyl}$ ; and  $R^4$  is  $-(\text{C}_1-\text{C}_6)\text{alkyl}$ , optionally substituted with  $-(\text{C}_1-\text{C}_6)\text{alkoxy}$ .

4. A compound of claim 1 selected from the group consisting of:

- 8-bromo-4-isopropylamino-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;  
8-chloro-4-(isopropylamino)-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;  
20 8-fluoro-4-cyclohexylamino-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;  
8-fluoro-4-isopropyl-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;  
8-fluoro-4-(piperidin-4-ylamino)-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;  
8-fluoro-4-(4-phenyl-propylamino)-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;  
4-isopropylamino-1-oxo-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxalin-8-  
25 carboxylic acid-(2-methoxy-ethyl)-amide;  
4-isopropylamino-1-oxo-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxalin-8-  
carboxylic acid-dimethylamide;  
4-isopropylamino-1-oxo-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxalin-7-  
carboxylic acid-methylamide;  
30 4-isopropylamino-1-oxo-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxalin-8-  
carboxylic acid-isobutyl amide;  
4-isopropylamino-1-oxo-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxalin-7-  
carboxylic acid-(2-methoxy-ethyl)-methyl amide;

- 4-isopropylamino-1-oxo-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxalin-8-carboxylic acid, sodium salt;
- 4-[2-(1H-benzimidazol-2-yl)-butylamino]-8-fluoro-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 5 4-[2-(1H-benzimidazol-2-yl)-ethylamino]-8-fluoro-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 4-[2-(1H-benzimidazol-2-ylamino)-ethylamino]-8-fluoro-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 10 4-[2-(benzooxazol-2-ylamino)-ethylamino]-8-chloro-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 4-[2-(benzothiazol-2-ylamino)-ethylamino]-8-bromo-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 4-[2-(benzothiazol-2-ylamino)-ethylamino]-8-chloro-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 15 4-[2-(1H-benzothiazol-2-ylamino)-ethylamino]-8-fluoro-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 4-[2-(1H-benzimidazol-2-yl)-propylamino]-8-fluoro-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 20 2-[2-(8-fluoro-1-oxo-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxalin-4-ylamino)-ethylamino]-isonicotinic acid;
- 4-[2-(6-methoxy-benzothiazol-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-bromo-4-[2-(1H-indol-3-yl)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 25 8-fluoro-4-(tetrahydro-pyran-4-ylamino)-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(1H-indol-3-yl)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(pyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 30 8-fluoro-4-[2-(pyrimidin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(quinolin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;

- 8-fluoro-4-[2-(2-trifluoromethyl-quinolin-4-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(3-trifluoromethyl-pyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 5 8-fluoro-4-[2-(4-morpholin-4-ylmethyl-pyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(4-trifluoromethyl-pyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(4-trifluoromethyl-pyrimidin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 10 8-fluoro-4-[2-(4-trifluoromethyl-pyridin-2-ylamino)-propylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(5-cyano-pyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 15 8-fluoro-4-[2-(5-trifluoromethyl-pyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(5-trifluoromethyl-pyridin-2-ylamino)-propylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(6-methyl-5,6,7,8-tetrahydro-[1,6]naphthyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 20 8-fluoro-4-[2-(6-trifluoromethyl-pyridin-2-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[2-(7-trifluoromethyl-quinolin-4-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 25 8-fluoro-4-[2-(8-trifluoromethyl-quinolin-4-ylamino)-ethylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one;
- 8-fluoro-4-[3-(5-trifluoromethyl-pyridin-2-ylamino)-propylamino]-2H-[1,2,4]triazolo[4,3-a]quinoxaline-1-one; or
- 1-oxo-4-[2-(4-trifluoromethyl-pyridin-2-ylamino)-ethylamino]-1,2-dihydro-[1,2,4]triazolo[4,3-a]quinoxaline-7-carboxylic acid methyl ester;
- 30 a prodrug thereof, or a pharmaceutically acceptable salt of said compound or said prodrug.

5. A pharmaceutical composition comprising a compound of claim 1, a prodrug thereof, or a pharmaceutically acceptable salt of said compound or said prodrug, and a pharmaceutically acceptable carrier, vehicle, or diluent.
- 5      6. A method of treating a glycogen synthase kinase 3-mediated condition, disease, or symptom in a mammal in need of such treatment which method comprises administering to said mammal a therapeutically effective amount of a compound of claim 1, a prodrug thereof, or a pharmaceutically acceptable salt of said compound or said prodrug; or a pharmaceutical composition comprising a compound of formula (I),  
10      a prodrug thereof, or a pharmaceutically acceptable salt of said compound or prodrug, and a pharmaceutically acceptable carrier, vehicle, or diluent.
7. A method of claim 6, wherein said condition, disease, or symptom is Alzheimer's Disease, asthma, atherosclerosis, anxiety, bipolar disorder, cancer, diabetes,  
15      dementia, depression, frailty, hair loss, heart failure, essential hypertension, hyperglycemia, hyperlipidemia, hypoglycemia, inflammation, ischemia, male fertility and sperm motility, mood disorders, neuronal cell death, obesity, obsessive compulsive disorder, polycystic ovary disorder, schizophrenia, stroke, Syndrome X, or traumatic brain injury.
- 20      8. A method of claim 7, wherein said condition, disease, or symptom is diabetes.
9. A method of inhibiting glycogen synthase kinase-3 activity in a mammal in need of such inhibition which method comprises administering a glycogen synthase kinase-3  
25      activity-inhibiting amount of a compound of claim 1, a prodrug thereof, or a pharmaceutically acceptable salt of said compound or said prodrug; or a pharmaceutical composition comprising a compound of formula (I), a prodrug thereof, or a pharmaceutically acceptable salt of said compound or prodrug, and a pharmaceutically acceptable carrier, vehicle, or diluent.
- 30      10. A pharmaceutical composition comprising an amount of a compound of claim 1; an amount of one or more of: (i) an anti-angiogenesis agent, (ii) a signal transduction inhibitor, (iii) an anti-proliferative agent, (iv) an NK-1 receptor antagonist, (v) a 5HT<sub>1D</sub> receptor antagonist, (vi) a selective serotonin reuptake inhibitor, (vii) an anti-psychotic

agent, (viii) an acetylcholinesterase inhibitor, (ix) a neuroprotectant, (x) tissue plasminogen activator, (xi) neutrophil inhibitory factor, or (xii) a potassium channel modulator; and a pharmaceutically acceptable carrier, vehicle, or diluent.

- 5      11. A composition of claim 10, wherein: (i) said anti-angiogenesis agent is celecoxib, valdecoxib, or rofecoxib; (ii) said signal transduction inhibitor is an epidermal growth factor receptor response inhibitor, a vascular endothelial growth factor inhibitor, or an erbB2 receptor inhibitor; (iii) said selective serotonin reuptake inhibitor is fluoxetine, paroxetine, sertraline, fluvoxamine, venlafaxine, nefazodone, or bupropion; (iv) said  
10 anti-psychotic agent is ziprasidone, olanzapine, risperidone, sonepiprazole, or gepirone; (v) said acetylcholinesterase inhibitor is donepezil, rivastigmine, metrifonate, physostigmine, or tacrine; and (vi) said neuroprotectant is an NMDA receptor antagonist.
- 15      12. A method of treating a glycogen synthase kinase 3-mediated condition, disease, or symptom in a mammal in need of such treatment which method comprises administering to said mammal a therapeutically effective amount of a composition of claim 10.
- 20      13. A method of claim 12, wherein said condition, disease, or symptom is Alzheimer's Disease, asthma, atherosclerosis, anxiety, bipolar disorder, cancer, diabetes, dementia, depression, frailty, hair loss, heart failure, essential hypertension, hyperglycemia, hyperlipidemia, hypoglycemia, inflammation, ischemia, male fertility and sperm motility, mood disorders, neuronal cell death, obesity, obsessive  
25 compulsive disorder, polycystic ovary disorder, schizophrenia, stroke, Syndrome X, or traumatic brain injury.
14. A method of claim 13, wherein said condition, disease, or symptom is diabetes.